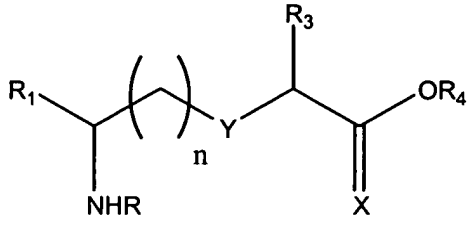
What is claimed is:

1. A compound represented in the general formula (Ia):

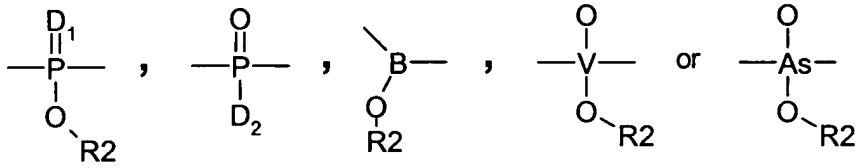


Ia

wherein:

X represents O or S;

Y represents:



R represents a chelate ligand, a fluorescence tag, or a cytotoxic moiety;

R1 and R3, independently for each occurrence, represents an alkyl, an alkenyl, a cycloalkyl, a cycloalkenyl, an aryl, $-(CH_2)_m$-aryl, -alkyl-CO_2R4, -alkenyl-CO_2R4, -cycloalkyl-CO_2R4, -cycloalkenyl-CO_2R4 or -aryl-CO_2R4;

R2 and R4, independently for each occurrence, represent hydrogen, a lower alkyl, or a pharmaceutically acceptable salt;

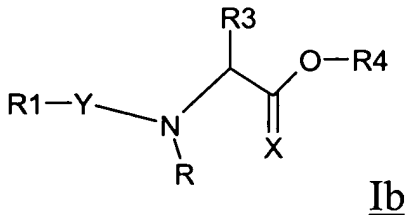
D_1 represents O or S;

D_2 represents N_3, SH_2, NH_2, or NO_2;

m is 1, 2, 3 or 4; and,

n is 0, 1, 2 or 3.

2. A compound represented in the general formula (Ib):

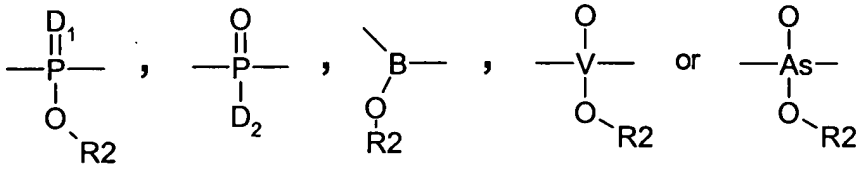


Ib

wherein:

X represents O or S;

Y represents:



R represents a chelate ligand, a fluorescence tag, or a cytotoxic moiety;

R1 and R3, independently for each occurrence, represents an alkyl, an alkenyl, a cycloalkyl, a cycloalkenyl, an aryl, -$(CH_2)_m$-aryl, -alkyl-CO_2R4, -alkenyl-CO_2R4, -cycloalkyl-CO_2R4, -cycloalkenyl-CO_2R4 or -aryl-CO_2R4;

R2 and R4, independently for each occurrence, represent hydrogen, a lower alkyl, or a pharmaceutically acceptable salt;

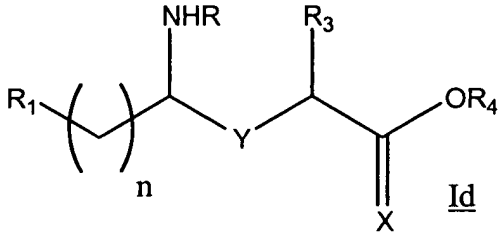
D_1 represents O or S;

D_2 represents N_3, SH_2, NH_2, or NO_2;

m is 1, 2, 3 or 4; and,

n is 0, 1, 2 or 3.

3. A compound represented in the general formula (Id):

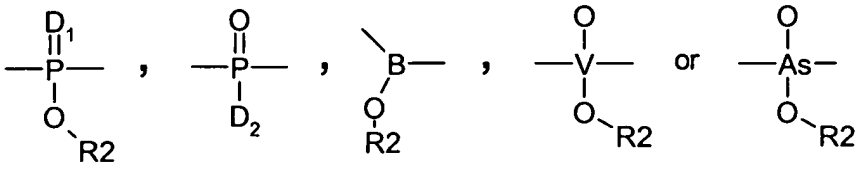


Id

wherein:

X represents O or S;

Y represents:



R represents a chelate ligand, a fluorescence tag, or a cytotoxic moiety;

R1 and R3, independently for each occurrence, represents an alkyl, an alkenyl, a cycloalkyl, a cycloalkenyl, an aryl, -$(CH_2)_m$-aryl, -alkyl-CO_2R4, -alkenyl-CO_2R4, -cycloalkyl-CO_2R4, -cycloalkenyl-CO_2R4 or -aryl-CO_2R4;

R2 and R4, independently for each occurrence, represent hydrogen, a lower alkyl, or a pharmaceutically acceptable salt;

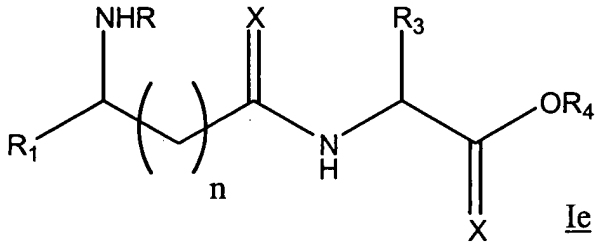
D_1 represents O or S;

D_2 represents N_3, SH_2, NH_2, or NO_2;

m is 1, 2, 3 or 4; and,

n is 0, 1, 2 or 3.

4. A compound represented in the general formula (Ie):

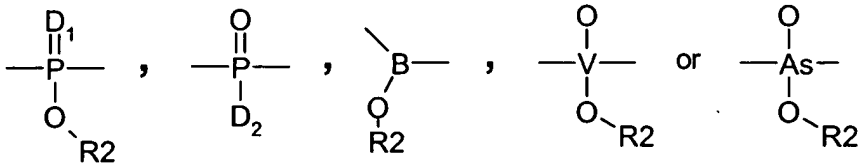


Ie

wherein:

X represents O or S;

Y represents:



R represents a chelate ligand, a fluorescence tag, or a cytotoxic moiety;

R1 and R3, independently for each occurrence, represents an alkyl, an alkenyl, a cycloalkyl, a cycloalkenyl, an aryl, -$(CH_2)_m$-aryl, -alkyl-CO_2R4, -alkenyl-CO_2R4, -cycloalkyl-CO_2R4, -cycloalkenyl-CO_2R4 or -aryl-CO_2R4;

R2 and R4, independently for each occurrence, represent hydrogen, a lower alkyl, or a pharmaceutically acceptable salt;

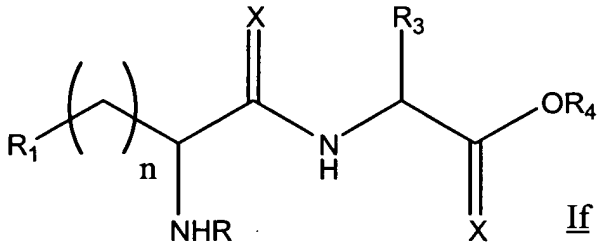
D_1 represents O or S;

D_2 represents N_3, SH_2, NH_2, or NO_2;

m is 1, 2, 3 or 4; and,

n is 0, 1, 2 or 3.

5. A compound represented in the general formula (If):

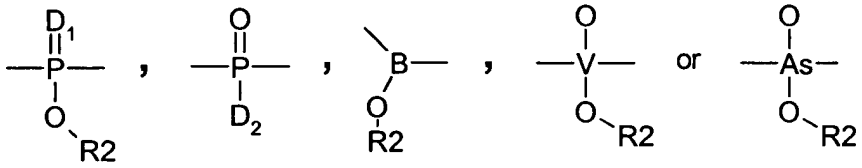


If

wherein:

X represents O or S;

Y represents:



R represents a chelate ligand, a fluorescence tag, or a cytotoxic moiety;

R1 and R3, independently for each occurrence, represents an alkyl, an alkenyl, a cycloalkyl, a cycloalkenyl, an aryl, $-(CH_2)_m$-aryl, -alkyl-CO_2R4, -alkenyl-CO_2R4, -cycloalkyl-CO_2R4, -cycloalkenyl-CO_2R4 or -aryl-CO_2R4;

R2 and R4, independently for each occurrence, represent hydrogen, a lower alkyl, or a pharmaceutically acceptable salt;

D_1 represents O or S;

D_2 represents N_3, SH_2, NH_2, or NO_2;

m is 1, 2, 3 or 4; and,

n is 0, 1, 2 or 3.

6. The compound of any one of claims 1-5, wherein X represents O.

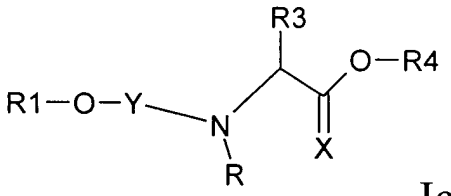
7. The compound of any one of claims 1-5, wherein R1 and R3 each independently represent a -lower alkyl-CO_2R4.

8. The compound of claim any one of claims 1-5, wherein Y represents –P(=O)(-OR2)-.

9. The compound of claim any one of claims 1-5, wherein R2 represents H or a lower alkyl.

10. The compound of claim 9, wherein R2 represents H.

11. A compound represented in the general formula (Ic):

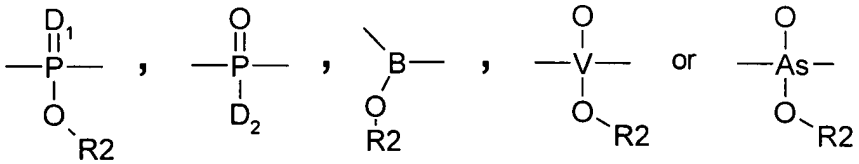


Ic

wherein:

X represents O or S;

Y represents:



R represents a chelate ligand, a fluorescence tag, or a cytotoxic moiety;

R1 and R3, independently for each occurrence, represents an alkyl, an alkenyl, a cycloalkyl, a cycloalkenyl, an aryl, -$(CH_2)_m$-aryl, -alkyl-CO_2R4, -alkenyl-CO_2R4, -cycloalkyl-CO_2R4, -cycloalkenyl-CO_2R4 or -aryl-CO_2R4;

R2 and R4, independently for each occurrence, represent hydrogen, a lower alkyl, or a pharmaceutically acceptable salt;

D_1 represents O or S;

D_2 represents N_3, SH_2, NH_2, or NO_2;

m is 1, 2, 3 or 4; and,

n is 0, 1, 2 or 3.

12. The compound of claim 11, wherein X represents O.

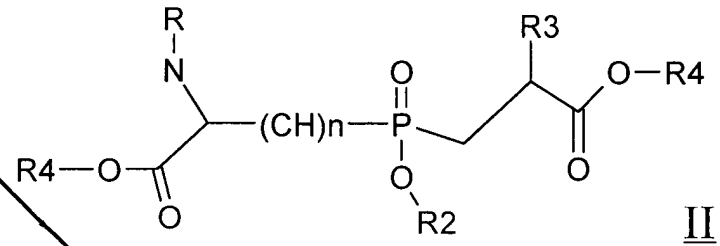
13. The compound of claim 11, wherein R1 represent H, a -lower alkyl-CO_2R4, or -$(CH_2)_m$-aryl.

14. The compound of claim 11, wherein Y represents –P(=O)(-OR2)-.

15. The compound of claim 11, wherein R2 represents H or a lower alkyl.

16. The compound of claim 15, wherein R2 represents H.

17. A compound represented in the general formula (II):



II

wherein:

R represents a chelate ligand, a fluorescence tag, or a cytotoxic moiety;

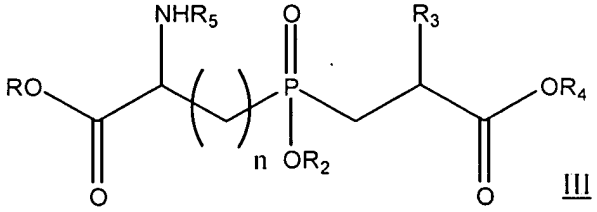
R3 represents an alkyl, an alkenyl, a cycloalkyl, a cycloalkenyl, an aryl, -$(CH_2)_m$-aryl, -alkyl-CO_2R4, -alkenyl-CO_2R4, -cycloalkyl-CO_2R4, -cycloalkenyl-CO_2R4 or -aryl-CO_2R4;

R2 and R4, independently for each occurrence, represent hydrogen, a lower alkyl, or a pharmaceutically acceptable salt;

m is 1, 2, 3 or 4; and,

n is 0, 1, 2 or 3.

18. A compound represented in the general formula (III):



III

wherein:

R represents a chelate ligand, a fluorescence tag, or a cytotoxic moiety;

R3 represents an alkyl, an alkenyl, a cycloalkyl, a cycloalkenyl, an aryl, -$(CH_2)_m$-aryl, -alkyl-CO_2R4, -alkenyl-CO_2R4, -cycloalkyl-CO_2R4, -cycloalkenyl-CO_2R4 or -aryl-CO_2R4;

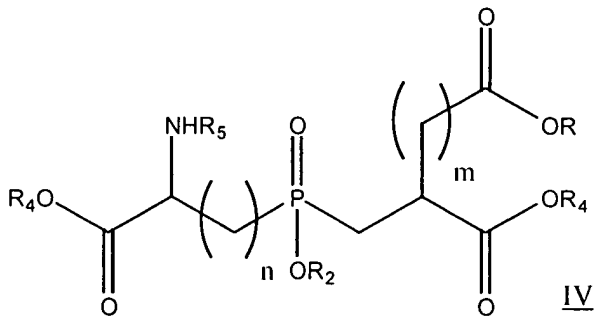
R2 and R4, independently for each occurrence, represent hydrogen, a lower alkyl, or a pharmaceutically acceptable salt;

R5 represents H or a lower alkyl;

m is 1, 2, 3 or 4; and

n is 0, 1, 2 or 3.

19. A compound represented in the general formula (IV):



IV

wherein:

R represents a chelate ligand, a fluorescence tag, or a cytotoxic moiety;

R3 represents an alkyl, an alkenyl, a cycloalkyl, a cycloalkenyl, an aryl, -$(CH_2)_m$-aryl, -alkyl-CO_2R4, -alkenyl-CO_2R4, -cycloalkyl-CO_2R4, -cycloalkenyl-CO_2R4 or -aryl-CO_2R4;

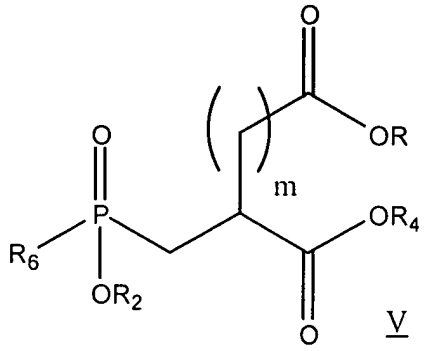
R2 and R4, independently for each occurrence, represent hydrogen, a lower alkyl, or a pharmaceutically acceptable salt;

R5 represents H or a lower alkyl;

m is 1, 2, 3 or 4; and

n is 0, 1, 2 or 3.

20. A compound represented in the general formula (V):



V

wherein

R represents a chelate ligand, a fluorescence tag, or a cytotoxic moiety;

R2 and R4, independently for each occurrence, represent hydrogen, a lower alkyl, or a pharmaceutically acceptable salt;

R6 represents an alkyl, an alkenyl, a cycloalkyl, a cycloalkenyl, an aryl, or $-(CH_2)_m$-aryl; and,

m is 1, 2, 3 or 4.

21. The compound of any one of claims 1-5, 11, and 17-20, wherein R is at least 25 amu in size.

22. The compound of claim 21, wherein R is at least 50 amu in size.

23. The compound of claim 22, wherein R is at least 100 amu in size.

24. The compound of claim 23, wherein R is at least 250 amu in size.

25. The compound of any one of claims 1-5, 11, and 17-20, wherein R is a cytotoxic moiety, and R is hydrolyzable from the PSMA ligand.

26. The compound of claim 25, wherein R is linked to the rest of the molecule by use of an amide or ester group.

27. The compound of claim 25, wherein R is linked to the rest of the molecule by use of an acid labile or base-cleavable linker.

28. The compound of any one of claims 1-5, 11, and 17-20, wherein R is a chelate moiety for chelating a metal.

29. The compound of claim 28, wherein R is a chelator for a radiometal or a paramagnetic ion.

30. The compound of claim 28, wherein R is a chelator for a radionuclide useful for radiotherapy or imaging procedures.

31. The compound of claim 30, wherein R is a beta- or alpha-emitter for radio-therapeutic use.

32. The compound of claim 30, wherein R is a gamma-emitter, positron-emitter, Auger electron-emitter, X-ray emitter or fluorescence-emitter.

33. The compound of claim 30, wherein R is ^{99m}Tc (technium).

34. The compound of any one of claims 1-5, 11, and 17-20, wherein R is a radiosensitizing agent selected from: nitroimidazoles, metronidazole or misonidazole.

35. The compound of any one of claims 1-5, 11, and 17-20, wherein R is a bifunctional chelator N_xS_y that are capable of coordinately binding a metal or radiometal, wherein x and y are integers between 1 and 4.

36. The compound of claim 35, wherein N_xS_y has a N_2S_2 or a N_3S core.

37. The compound of any one of claims 1-5, 11, and 17-20, wherein R is Boron addend.

38. The compound of any one of claims 1-5, 11, and 17-20, wherein R is a chemotherapeutic agent.

39. The compound of any one of claims 1-5, 11, and 17-20, wherein R is a drug that interferes with intracellular protein synthesis.

40. The compound of any one of claims 1-5, 11, and 17-20, wherein R is a prodrug that is only activated from its inactive precursor form by host metabolism.

41. The compound of any one of claims 1-5, 11, and 17-20, wherein R is a toxin selected from: ricin, ricin A chain (ricin toxin), Pseudomonas exotoxin (PE), diphtheria toxin (DT), Clostridium perfringens phospholipase C (PLC), bovine pancreatic ribonuclease (BPR), pokeweed antiviral protein (PAP), abrin, abrin A chain (abrin toxin), cobra venom factor (CVF), gelonin (GEL), saporin (SAP), modeccin, viscumin or volkensin.

42. The compound of any one of claims 1-5, 11, and 17-20, wherein R is an enzyme that converts prodrug locally.

43. A pharmaceutical composition comprising the compound of any one of claims 1-5, 11, and 17-20, and a pharmaceutically acceptable carrier.

44. A method for detecting or imaging PSMA (prostate-specific membrane antigen) - expressing cells in a patient, comprising:

(a) contacting the patient with a modified PSMA ligand of any one of claims 1-5, 11, and 17-20;

(b) detecting the modified PSMA ligand, thereby detecting PSMA-expressing cells in the patient.

45. The method of claim 44, wherein the PSMA-expressing cells are prostatic cells in prostatic hyperplasia or prostate cancer.

46. The method of claim 44, wherein the modified PSMA ligand is modified by an imaging agent.

47. The method of claim 46, wherein the imaging agent is a radionuclide imaging agent.

48. The method of claim 47, wherein the radionuclide imaging agent is radioactive iodine or indium.

49. The method of claim 44, wherein the modified PSMA ligand is detected by radioscintigraphy, magnetic resonance imaging (MRI), computed tomography (CT scan), or positron emission tomography (PET).

50. The method of claim 44, wherein the contacting step (a) is effected by administering to the patient the modified PSMA ligand.

51. The method of claim 44, wherein the detecting step (b) includes determining the volume, shape and/or location of PSMA-expressing cells in the patient.

52. A method for determining the abundance of PSMA in a sample, comprising:

(a) contacting the sample with any one of the modified PSMA ligands of claims 1-5, 11, and 17-20;

(b) determining the abundance of the modified PSMA ligands bound to PSMA, or the abundance of the modifying group of said bound ligands, thereby determining the abundance of PSMA in said sample.

53. The method of claim 52, wherein the sample is prostatic fluid, urine, or obtained from seminal plasma.

54. A method to diagnose, in a test sample, the presence of a prostate disease condition associated with PSMA-overexpression, comprising:

(a) using the method of claim 52, determining the abundance of PSMA in the test sample and a normal control sample;

(b) comparing the level of abundance of PSMA in the test sample and the control sample;

wherein statistically significant higher levels of abundance of PSMA in the test sample indicates the presence of a prostate disease condition associated with PSMA-overexpression.

55. A method to treat a patient suffering from a disease condition associated with PSMA-overexpression, comprising administering to the patient an effective amount of modified PSMA ligand of any one of claims 1-5, 11, and 17-20.

56. The method of claim 55, wherein the disease condition is prostatic hyperplasia or prostate cancer.

57. The method of claim 55, wherein the modified PSMA ligand is modified by a cytotoxic agent.

58. The method of claim 55, wherein the modified PSMA ligand is modified by a radiometal chelating agent.

59. The method of claim 58, further comprising infusing into the patient an effective amount of chelator compounds.

60. The method of claim 59, wherein the chelator compound is EDTA or DTPA.

61. The method of claim 55, wherein the modified PSMA ligand is administered to the patient at a dose that contain 10-100 times less active agent as an active moiety than the dosage of agent administered as unconjugated active agents.

62. A kit for diagnosing or detecting the presence of a PSMA, comprising:

(a) at least one of the modified PSMA ligand of any one of claims 1-5, 11, and 17-20;

(b) an instruction.

63. The kit of claim 62, wherein the modified PSMA ligand contains a chelate moiety for chelating a metal or a paramagnetic ion.

64. The kit of claim 63, further comprising at least one metal.

65. The kit of claim 64, wherein the metal is a radionuclide useful for radiotherapy or imagine procedures.

66. A method to treat a patient suffering from a disease condition associated with PSMA-overexpression, comprising administering to the patient an effective amount of IRDye78.

add A^2